

Figure 1

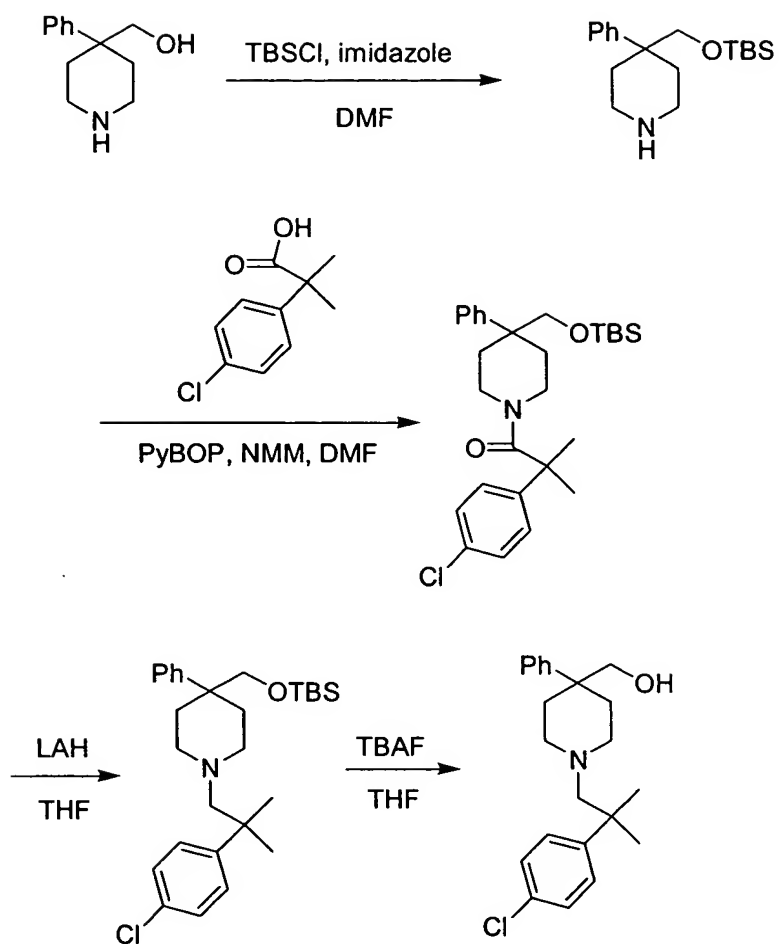
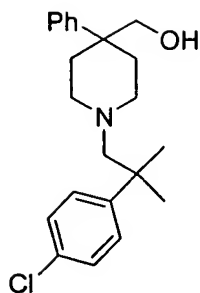


Figure 2



DAT IC₅₀ = <0.1 μM

NET IC₅₀ = <1 μM

5HT-T IC₅₀ = <5 μM

DAT EC₅₀ = 25 nM (antagonist)

Formulation

7.5 mg/mL in 50 mM NaOAc (pH = 2.5)

Dose Tolerance

(n = 3 mice)

1 death at dose of 60 mg/kg

Figure 3

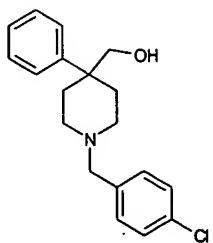
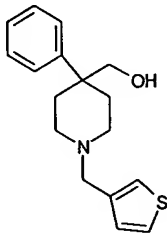
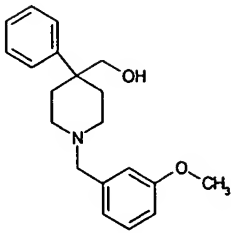
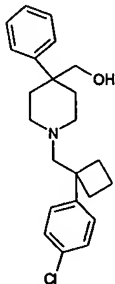
| Compound | NET IC ₅₀ (μM) | DAT IC ₅₀ (μM) | 5HT-T IC ₅₀ (μM) |
|---|------------------------------|------------------------------|--------------------------------|
|  | <10 | >10 | >10 |
|  | >10 | >10 | >10 |
|  | >10 | >10 | <10 |
|  | <0.1 | <0.1 | <1 |

Figure 4

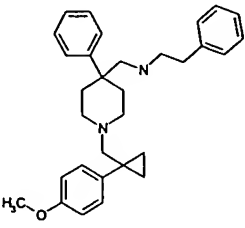
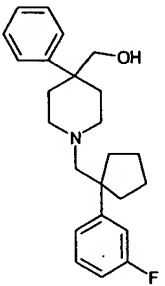
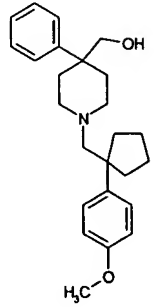
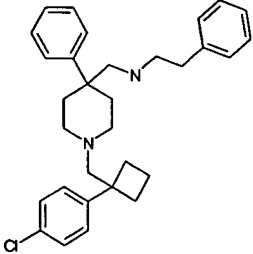
| Compound | NET IC ₅₀ (μM) | DAT IC ₅₀ (μM) | 5HT-T IC ₅₀ (μM) |
|---|------------------------------|------------------------------|--------------------------------|
|  | <1 | <5 | <1 |
|  | <10 | <1 | <10 |
|  | <5 | <1 | <5 |
|  | >10 | <0.1 | <1 |

Figure 5

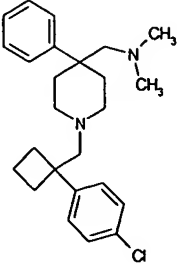
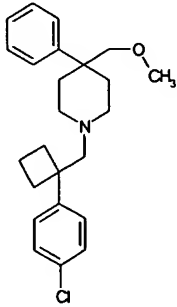
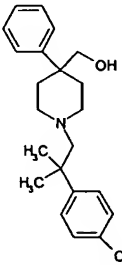
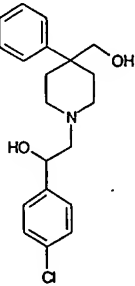
| Compound | NET IC ₅₀ (μM) | DAT IC ₅₀ (μM) | 5HT-T IC ₅₀ (μM) |
|---|------------------------------|------------------------------|--------------------------------|
|  | <1 | <1 | <1 |
|  | <1 | <1 | <1 |
|  | <1 | <0.1 | <5 |
|  | >10 | <10 | <1 |

Figure 6

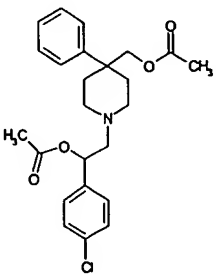
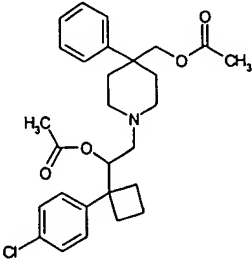
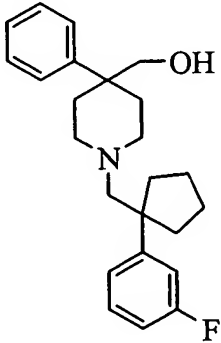
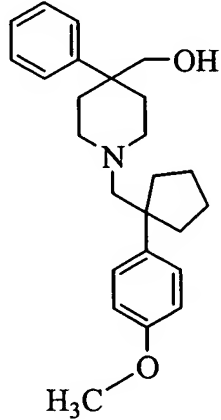
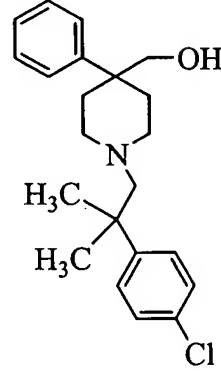
| Compound | NET IC ₅₀ (μM) | DAT IC ₅₀ (μM) | 5HT-T IC ₅₀ (μM) |
|--|------------------------------|------------------------------|--------------------------------|
|  | >10 | <10 | <5 |
|  | <5 | <5 | <1 |

Figure 7

| Compound | Structure | DATEC ₅₀ (μ M) |
|----------|---|-----------------------------------|
| 6 |  | <1.0 |
| 7 |  | <0.1 |
| 11 |  | <0.1 |